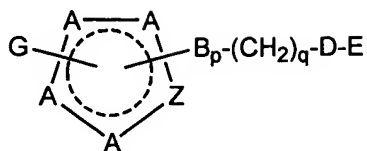


## WHAT IS CLAIMED IS:

- 1 1. A compound having the formula:



- 2  
3 or a pharmaceutically acceptable salt, ester, or prodrug thereof,  
4 wherein

5 A, at each occurrence, independently is carbon, carbonyl, or nitrogen, provided at least  
6 one A is carbon;

7 Z is carbon, nitrogen, oxygen, or sulfur;

8 B is selected from the group consisting of O, NR<sup>2</sup>, S(O)<sub>r</sub>, C=O, C=S, and C=NOR<sup>3</sup>,

9 p is 0 or 1;

10 q, at each occurrence, independently is 0 or 1;

11 r is 0, 1, or 2;

12 R<sup>2</sup>, at each occurrence, independently is selected from the group consisting of:

- 13 a) hydrogen, b) S(O)<sub>r</sub>R<sup>4</sup>, c) formyl, d) C<sub>1-8</sub> alkyl, e) C<sub>2-8</sub> alkenyl, f) C<sub>2-8</sub> alkynyl,  
14 g) C<sub>1-8</sub> alkoxy, h) C<sub>1-8</sub> alkylthio, i) C<sub>1-8</sub> acyl, j) saturated, unsaturated, or aromatic  
15 C<sub>3-8</sub> carbocycle, and k) saturated, unsaturated, or aromatic 5-10 membered  
16 heterocycle containing one or more heteroatoms selected from the group  
17 consisting of nitrogen, oxygen, and sulfur,  
18 wherein any of d) – k) optionally is substituted with one or more moieties  
19 selected from the group consisting of carbonyl, aryl, substituted aryl,  
20 heteroaryl, substituted heteroaryl, F, Cl, Br, I, CN, NO<sub>2</sub>, -NR<sup>3</sup>R<sup>3</sup>, -OR<sup>3</sup>,  
21 -S(O)<sub>r</sub>R<sup>4</sup>, -S(O)<sub>r</sub>NR<sup>3</sup>R<sup>3</sup>, -C(O)R<sup>3</sup>, -C(O)OR<sup>3</sup>, -OC(O)R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, and  
22 -OC(O)NR<sup>3</sup>R<sup>3</sup>;

23 alternatively, two R<sup>2</sup> groups, taken together with the atom to which they are bonded, form  
24 i) 5-8 membered saturated or unsaturated carbocycle, or ii) 5-8 membered saturated or  
25 unsaturated heterocycle containing one or more atoms selected from the group consisting of  
26 nitrogen, oxygen, and sulfur,

27 wherein i) – ii) optionally is substituted with one or more moieties selected from  
28 the group consisting of carbonyl, F, Cl, Br, I, CN, NO<sub>2</sub>, -NR<sup>3</sup>R<sup>3</sup>, -OR<sup>3</sup>, -S(O)<sub>r</sub>R<sup>4</sup>,

$-S(O)_rNR^3R^3$ ,  $-C(O)R^3$ ,  $-C(O)OR^3$ ,  $-OC(O)R^3$ ,  $-C(O)NR^3R^3$ ,  $-OC(O)NR^3R^3$ ,  
 $C_{1-6}$  acyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;  
 $R^3$ , at each occurrence, independently is selected from the group consisting of:  
a) hydrogen, b)  $C_{1-8}$  alkyl, c)  $C_{2-8}$  alkenyl, d)  $C_{2-8}$  alkynyl, e)  $C_{1-8}$  acyl,  
f) saturated, unsaturated, or aromatic  $C_{3-8}$  carbocycle, and g) saturated,  
unsaturated, or aromatic 5-10 membered heterocycle containing one or more  
heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,  
wherein any of b) – h) optionally is substituted with one or more moieties  
selected from the group consisting of carbonyl, F, Cl, Br, I, CN,  $NO_2$ ,  
 $-NR^6R^6$ ,  $-OR^6$ ,  $-S(O)_rR^6$ ,  $-S(O)_rNR^6R^6$ ,  $-C(O)R^6$ ,  $-C(O)OR^6$ ,  $-OC(O)R^6$ ,  
 $-C(O)NR^6R^6$ ,  $-OC(O)NR^6R^6$ ,  $C_{1-6}$  acyl, aryl, substituted aryl, heteroaryl,  
and substituted heteroaryl;  
alternatively, two  $R^3$  groups, taken together with the atom to which they are bonded, form  
i) a 5-7 membered saturated or unsaturated carbocycle, or ii) a 5-7 membered saturated or  
unsaturated heterocycle containing one or more atoms selected from the group consisting of  
nitrogen, oxygen, and sulfur,  
wherein i) - ii) optionally is substituted with one or more moieties selected from  
the group consisting of carbonyl, F, Cl, Br, I, CN,  $NO_2$ ,  $-NR^6R^6$ ,  $-OR^6$ ,  $-S(O)_rR^6$ ,  
 $-S(O)_rNR^6R^6$ ,  $-C(O)R^6$ ,  $-C(O)OR^6$ ,  $-OC(O)R^6$ ,  $-C(O)NR^6R^6$ ,  $-OC(O)NR^6R^6$ ,  
 $C_{1-6}$  acyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;  
 $R^4$  is selected from the group consisting of:  
a) hydrogen, b)  $-NR^3R^3$ , c)  $-NR^3OR^3$ , d)  $-NR^3NR^3R^3$  e)  $-NHC(O)R^3$ ,  
f)  $-C(O)NR^3R^3$ , g)  $-N_3$ , h)  $C_{1-8}$  alkyl, i)  $C_{2-8}$  alkenyl, j)  $C_{2-8}$  alkynyl, k) saturated,  
unsaturated, or aromatic  $C_{3-8}$  carbocycle, and l) saturated, unsaturated, or aromatic  
5-10 membered heterocycle containing one or more heteroatoms selected from the  
group consisting of nitrogen, oxygen, and sulfur,  
wherein any of h) – l) optionally is substituted with one or more moieties  
selected from the group consisting of carbonyl, F, Cl, Br, I, CN,  $NO_2$ ,  
 $-NR^3R^3$ ,  $-OR^3$ ,  $-SR^3$ ,  $-S(O)_rR^5$ ,  $-S(O)_rNR^3R^3$ ,  $-C(O)R^3$ ,  $-C(O)OR^3$ ,  
 $-OC(O)R^3$ ,  $-C(O)NR^3R^3$ ,  $-OC(O)NR^3R^3$ ,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkenyl,

C<sub>1-6</sub> alkynyl, C<sub>1-6</sub> acyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R<sup>5</sup> is selected from the group consisting of:

a) hydrogen, b) -NR<sup>3</sup>R<sup>3</sup>, c) -NR<sup>3</sup>OR<sup>3</sup>, d) -NR<sup>3</sup>NR<sup>3</sup>R<sup>3</sup>, e) -NHC(O)R<sup>3</sup>,  
f) -C(O)NR<sup>3</sup>R<sup>3</sup>, g) -N<sub>3</sub>, h) C<sub>1-8</sub> alkyl, i) C<sub>2-8</sub> alkenyl, j) C<sub>2-8</sub> alkynyl, k) saturated,  
unsaturated, or aromatic C<sub>3-8</sub> carbocycle, and l) saturated, unsaturated, or aromatic  
5-10 membered heterocycle containing one or more heteroatoms selected from the  
group consisting of nitrogen, oxygen, and sulfur,

wherein any of h) – l) optionally is substituted with one or more moieties  
selected from the group consisting of F, Cl, Br, I, CN, NO<sub>2</sub>, -NR<sup>3</sup>R<sup>3</sup>, -OR<sup>3</sup>,  
-SR<sup>3</sup>-C(O)R<sup>3</sup>, -C(O)OR<sup>3</sup>, -OC(O)R<sup>3</sup>, -C(O)NR<sup>3</sup>R<sup>3</sup>, -OC(O)NR<sup>3</sup>R<sup>3</sup>,  
C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkenyl, C<sub>1-6</sub> alkynyl, C<sub>1-6</sub> acyl, aryl, substituted aryl,  
heteroaryl, and substituted heteroaryl;

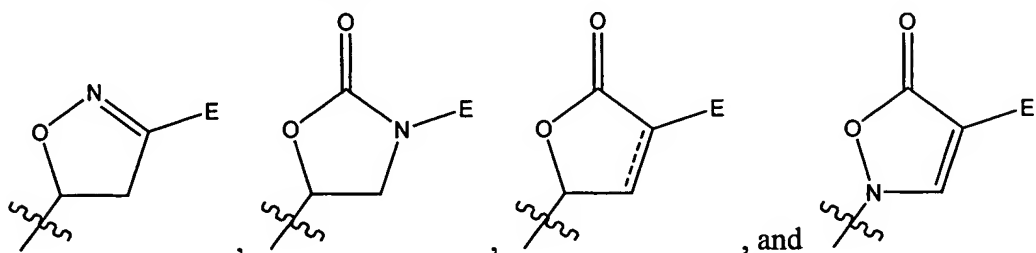
R<sup>6</sup>, at each occurrence, independently is selected from the group consisting of:

hydrogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkenyl, C<sub>1-6</sub> alkynyl, C<sub>1-6</sub> acyl, aryl, substituted aryl,  
heteroaryl, substituted heteroaryl;

alternatively, two R<sup>6</sup> groups taken together are -(CH<sub>2</sub>)<sub>s</sub>-,

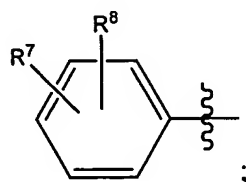
wherein s is 1, 2, 3, 4, or 5;

D-E is selected from the group consisting of:

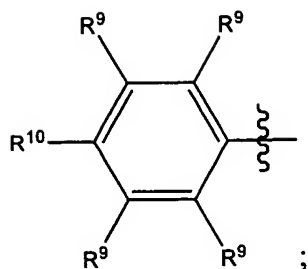


E is selected from the group consisting of:

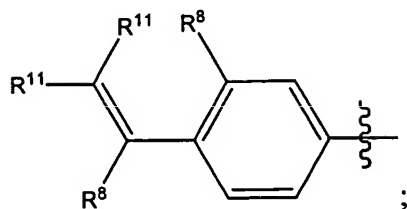
a)



b)



c)



d) 5-10 membered saturated, unsaturated, or aromatic heterocycle containing one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally substituted with one or more  $R^{13}$  groups;

e)  $C_{5-10}$  saturated, unsaturated, or aromatic carbocycle, optionally substituted with one or more  $R^{13}$  groups;

f)  $C_{1-8}$  alkyl,

g)  $C_{2-8}$  alkenyl,

h)  $C_{3-8}$  alkynyl,

i)  $C_{1-8}$  alkoxy,

j)  $C_{1-8}$  alkylthio,

k)  $C_{1-8}$  acyl,

l)  $S(O)_r R^5$ ; and

m) hydrogen,

wherein any of f) – k) optionally is substituted with

i) one or more  $R^{13}$  groups;

ii) 5-6 membered saturated, unsaturated, or aromatic heterocycle containing one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally substituted with one or more  $R^{13}$  groups; or

iii)  $C_{5-10}$  saturated, unsaturated, or aromatic carbocycle, optionally substituted with one or more  $R^{13}$  groups;

R<sup>7</sup> is selected from the group consisting of:

a) hydrogen, b) carbonyl, c) formyl, d) F, e) Cl, f) Br, g) I, h) CN, i) NO<sub>2</sub>, j) OR<sup>3</sup>,  
k) -S(O)<sub>r</sub>R<sup>5</sup>, l) -S(O)<sub>i</sub>N=R<sup>2</sup>, m) -C(O)R<sup>2</sup>, n) -C(O)OR<sup>3</sup>, o) -OC(O)R<sup>2</sup>,  
p) -C(O)NR<sup>2</sup>R<sup>2</sup>, q) -OC(O)NR<sup>2</sup>R<sup>2</sup>, r) -C(=NR<sup>12</sup>)R<sup>2</sup>, s) -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>,  
t) -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>, u) -C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2</sup>, v) -NR<sup>2</sup>R<sup>2</sup>, w) -NR<sup>2</sup>OR<sup>3</sup>,  
x) -N(R<sup>2</sup>)C(O)R<sup>2</sup>, y) -N(R<sup>2</sup>)C(O)OR<sup>3</sup>, z) -N(R<sup>2</sup>)C(O)NR<sup>2</sup>R<sup>2</sup>, aa) -N(R<sup>2</sup>)S(O)<sub>r</sub>R<sup>5</sup>,  
bb) -C(OR<sup>6</sup>)(OR<sup>6</sup>)R<sup>2</sup>, cc) -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>2</sup>, dd) -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>12</sup>, ee) =NR<sup>12</sup>,  
ff) -C(S)NR<sup>2</sup>R<sup>2</sup>, gg) -N(R<sup>2</sup>)C(S)R<sup>2</sup>, hh) -OC(S)NR<sup>2</sup>R<sup>2</sup>, ii) -N(R<sup>2</sup>)C(S)OR<sup>3</sup>,  
jj) -N(R<sup>2</sup>)C(S)NR<sup>2</sup>R<sup>2</sup>, kk) -SC(O)R<sup>2</sup>, ll) C<sub>1-8</sub> alkyl, mm) C<sub>2-8</sub> alkenyl,  
nn) C<sub>2-8</sub> alkynyl, oo) C<sub>1-8</sub> alkoxy, pp) C<sub>1-8</sub> alkylthio, qq) C<sub>1-8</sub> acyl, rr) saturated,  
unsaturated, or aromatic C<sub>5-10</sub> carbocycle, and ss) saturated, unsaturated, or  
aromatic 5-10 membered heterocycle containing one or more heteroatoms  
selected from the group consisting of nitrogen, oxygen, and sulfur,

wherein any of ll) – ss) optionally is substituted with one or more moieties  
selected from the group consisting of:

carbonyl; formyl; F; Cl; Br; I; CN; NO<sub>2</sub>; OR<sup>3</sup>; -S(O)<sub>r</sub>R<sup>5</sup>; -S(O)<sub>i</sub>N=R<sup>2</sup>,  
-C(O)R<sup>2</sup>; -C(O)OR<sup>3</sup>; -OC(O)R<sup>2</sup>; -C(O)NR<sup>2</sup>R<sup>2</sup>; -OC(O)NR<sup>2</sup>R<sup>2</sup>;  
-C(=NR<sup>10</sup>)R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>; -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>;  
-C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>OR<sup>3</sup>; -NR<sup>2</sup>C(O)R<sup>2</sup>;  
-NR<sup>2</sup>C(O)OR<sup>3</sup>; -NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>S(O)<sub>r</sub>R<sup>5</sup>; -C(OR<sup>6</sup>)(OR<sup>6</sup>)R<sup>2</sup>;  
-C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>12</sup>; =NR<sup>12</sup>; -C(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)R<sup>2</sup>;  
-OC(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)OR<sup>3</sup>; -NR<sup>2</sup>C(S)NR<sup>2</sup>R<sup>2</sup>; -SC(O)R<sup>2</sup>; C<sub>2-5</sub> alkenyl;  
C<sub>2-5</sub> alkynyl; C<sub>1-8</sub> alkoxy; C<sub>1-8</sub> alkylthio; C<sub>1-8</sub> acyl; saturated, unsaturated,  
or aromatic C<sub>5-10</sub> carbocycle, optionally substituted with one or more R<sup>8</sup>  
groups; and saturated, unsaturated, or aromatic 5-10 membered  
heterocycle containing one or more heteroatoms selected from the group  
consisting of nitrogen, oxygen, and sulfur, and optionally substituted with  
one or more R<sup>8</sup> groups;

R<sup>8</sup> is selected from the group consisting of:

hydrogen; F; Cl; Br; I; CN; NO<sub>2</sub>; OR<sup>6</sup>; aryl; substituted aryl; heteroaryl;  
substituted heteroaryl; and C<sub>1-6</sub> alkyl, optionally substituted with one or more

moieties selected from the group consisting of aryl, substituted aryl, heteroaryl,  
 substituted heteroaryl, F, Cl, Br, I, CN, NO<sub>2</sub>, and OR<sup>6</sup>;  
 alternatively, R<sup>7</sup> and R<sup>8</sup> taken together are -O(CH<sub>2</sub>)<sub>r</sub>O-;  
 R<sup>9</sup>, at each occurrence, independently is selected from the group consisting of:  
 hydrogen, F, Cl, Br, I, CN, OR<sup>3</sup>, NO<sub>2</sub>, -NR<sup>2</sup>R<sup>2</sup>, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> acyl, and  
 C<sub>1-6</sub> alkoxy;  
 R<sup>10</sup> is selected from the group consisting of:  
 a) saturated, unsaturated, or aromatic C<sub>5-10</sub> carbocycle, b) saturated, unsaturated,  
 or aromatic 5-10 membered heterocycle containing one or more heteroatoms  
 selected from the group consisting of nitrogen, oxygen, and sulfur,  
 c) -X-C<sub>1-6</sub> alkyl-saturated, unsaturated, or aromatic 5-10 membered heterocycle  
 containing one or more heteroatoms selected from the group consisting of  
 nitrogen, oxygen, and sulfur, d) saturated, unsaturated, or aromatic 10-membered  
 bicyclic ring system optionally containing one or more heteroatoms selected from  
 the group consisting of nitrogen, oxygen, and sulfur, e) saturated, unsaturated, or  
 aromatic 13-membered tricyclic ring system optionally containing one or more  
 heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,  
 and f) R<sup>9</sup>,  
 wherein  
 any of a) - e) optionally is substituted with one or more R<sup>13</sup> groups, and  
 X is O or NR<sup>3</sup>;  
 alternatively, R<sup>10</sup> and one R<sup>9</sup> group, taken together with the atoms to which they are  
 bonded, form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with  
 one or more R<sup>13</sup> groups; or a 5-7 membered saturated or unsaturated heterocycle containing one  
 or more atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally  
 substituted with one or more R<sup>13</sup> groups;  
 R<sup>11</sup> at each occurrence, independently is selected from the group consisting of:  
 hydrogen; an electron-withdrawing group; aryl; substituted aryl; heteroaryl;  
 substituted heteroaryl; and C<sub>1-6</sub> alkyl, optionally substituted with F, Cl, or Br;  
 alternatively, any R<sup>11</sup> and R<sup>8</sup>, taken together with the atoms to which they are bonded,  
 form a 5-7 membered saturated or unsaturated carbocycle, optionally substituted with one or

170 more R<sup>13</sup> groups; or a 5-7 membered saturated or unsaturated heterocycle containing one or more  
171 atoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally  
172 substituted with one or more R<sup>13</sup> groups;

173 R<sup>12</sup> is selected from the group consisting of:

174 -NR<sup>2</sup>R<sup>2</sup>, -OR<sup>3</sup>, -OC(O)R<sup>2</sup>, -OC(O)OR<sup>3</sup>, -NR<sup>2</sup>C(O)R<sup>2</sup>, -NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2</sup>,  
175 -NR<sup>2</sup>C(S)NR<sup>2</sup>R<sup>2</sup>, and -NR<sup>2</sup>C(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2</sup>;

176 R<sup>13</sup>, at each occurrence, independently is selected from the group consisting of:

177 a) hydrogen, b) carbonyl, c) formyl d) F, e) Cl, f) Br, g) I, h) CN, i) NO<sub>2</sub>, j) OR<sup>3</sup>,  
178 k) -S(O)<sub>r</sub>R<sup>5</sup>, l) -S(O)<sub>r</sub>N=R<sup>3</sup>, m) -C(O)R<sup>2</sup>, n) -C(O)OR<sup>3</sup>, o) -OC(O)R<sup>2</sup>,  
179 p) -C(O)NR<sup>2</sup>R<sup>2</sup>, q) -OC(O)NR<sup>2</sup>R<sup>2</sup>, r) -C(=NR<sup>12</sup>)R<sup>2</sup>, s) -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>,  
180 t) -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>, u) -C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2</sup>, v) -NR<sup>2</sup>R<sup>2</sup>, w) -NR<sup>2</sup>OR<sup>3</sup>,  
181 x) -N(R<sup>2</sup>)C(O)R<sup>2</sup>, y) -N(R<sup>2</sup>)C(O)OR<sup>3</sup>, z) -N(R<sup>2</sup>)C(O)NR<sup>2</sup>R<sup>2</sup>, aa) -N(R<sup>2</sup>)S(O)<sub>r</sub>R<sup>5</sup>,  
182 bb) -C(OR<sup>6</sup>)(OR<sup>6</sup>)R<sup>2</sup>, cc) -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>2</sup>, dd) -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>12</sup>, ee) =NR<sup>12</sup>,  
183 ff) -C(S)NR<sup>2</sup>R<sup>2</sup>, gg) -N(R<sup>2</sup>)C(S)R<sup>2</sup>, hh) -OC(S)NR<sup>2</sup>R<sup>2</sup>, ii) -N(R<sup>2</sup>)C(S)OR<sup>3</sup>,  
184 jj) -N(R<sup>2</sup>)C(S)NR<sup>2</sup>R<sup>2</sup>, kk) -SC(O)R<sup>2</sup>, ll) C<sub>1-8</sub> alkyl, mm) C<sub>2-8</sub> alkenyl,  
185 nn) C<sub>2-8</sub> alkynyl, oo) C<sub>1-8</sub> alkoxy, pp) C<sub>1-8</sub> alkylthio, qq) C<sub>1-8</sub> acyl, rr) saturated,  
186 unsaturated, or aromatic C<sub>5-10</sub> carbocycle, ss) saturated, unsaturated, or aromatic  
187 5-10 membered heterocycle containing one or more heteroatoms selected from the  
188 group consisting of nitrogen, oxygen, and sulfur, tt) saturated, unsaturated, or  
189 aromatic 10-membered bicyclic ring system optionally containing one or more  
190 heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur,  
191 and uu) saturated, unsaturated, or aromatic 13-membered tricyclic ring system  
192 optionally containing one or more heteroatoms selected from the group consisting  
193 of nitrogen, oxygen, and sulfur,

194 wherein any of ll) – uu) optionally is substituted with one or more  
195 moieties selected from the group consisting of:

196 carbonyl; formyl; F; Cl; Br; I; CN; NO<sub>2</sub>; OR<sup>3</sup>; -S(O)<sub>r</sub>R<sup>5</sup>;  
197 -S(O)<sub>r</sub>N=R<sup>2</sup>, -C(O)R<sup>2</sup>; -C(O)OR<sup>3</sup>; -OC(O)R<sup>2</sup>; -C(O)NR<sup>2</sup>R<sup>2</sup>;  
198 -OC(O)NR<sup>2</sup>R<sup>2</sup>; -C(=NR<sup>12</sup>)R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>;  
199 -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>; -C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>R<sup>2</sup>;  
200 -NR<sup>2</sup>OR<sup>3</sup>; -NR<sup>2</sup>C(O)R<sup>2</sup>; -NR<sup>2</sup>C(O)OR<sup>3</sup>; -NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2</sup>;

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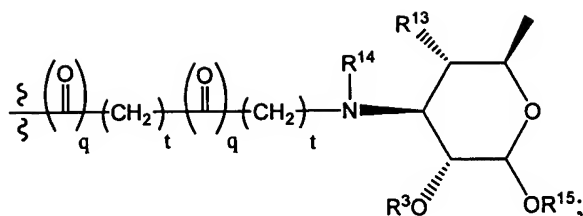


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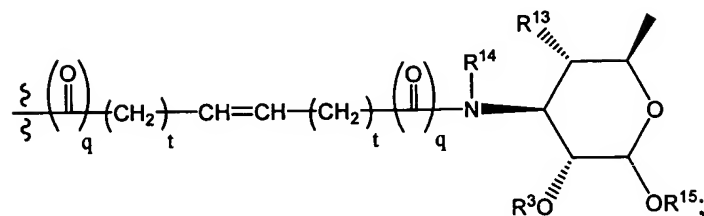


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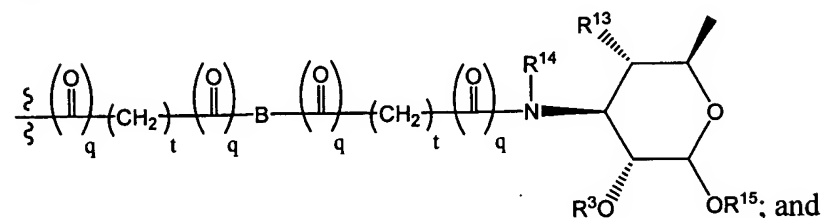




o)



p)



q)  $-(\text{CH}_2)_t\text{NR}^2-(\text{CH}_2)_t\text{C}(\text{R}^3)(\text{R}^3)\text{OR}^3$ ;

wherein

i) a) is substituted with, and

ii) any of b) – i) optionally is substituted with one or more moieties selected from the group consisting of:

carbonyl; formyl; F; Cl; Br; I; CN; NO<sub>2</sub>; OR<sup>3</sup>; -S(O)<sub>r</sub>R<sup>5</sup>;  
 -S(O)<sub>r</sub>N=R<sup>2</sup>; -C(O)R<sup>2</sup>; -C(O)OR<sup>3</sup>; -OC(O)R<sup>2</sup>; -C(O)NR<sup>2</sup>R<sup>2</sup>;  
 -OC(O)NR<sup>2</sup>R<sup>2</sup>; -C(=NR<sup>12</sup>)R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>2</sup>)OR<sup>3</sup>;  
 -C(R<sup>2</sup>)(R<sup>2</sup>)OC(O)R<sup>2</sup>; -C(R<sup>2</sup>)(OR<sup>3</sup>)(CH<sub>2</sub>)<sub>t</sub>NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>R<sup>2</sup>;  
 -NR<sup>2</sup>OR<sup>3</sup>; -NR<sup>2</sup>C(O)R<sup>2</sup>; -NR<sup>2</sup>C(O)OR<sup>3</sup>; -NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2</sup>;  
 -NR<sup>2</sup>S(O)<sub>r</sub>R<sup>5</sup>; -C(OR<sup>6</sup>)(OR<sup>6</sup>)R<sup>2</sup>; -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>2</sup>;  
 -C(R<sup>2</sup>)(R<sup>3</sup>)NR<sup>2</sup>R<sup>12</sup>; =NR<sup>12</sup>; -C(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)R<sup>2</sup>;  
 -OC(S)NR<sup>2</sup>R<sup>2</sup>; -NR<sup>2</sup>C(S)OR<sup>3</sup>; -NR<sup>2</sup>C(S)NR<sup>2</sup>R<sup>2</sup>; -SC(O)R<sup>2</sup>;  
 C<sub>2-5</sub> alkenyl; C<sub>2-5</sub> alkynyl; C<sub>1-8</sub> alkoxy; C<sub>1-8</sub> alkylthio; C<sub>1-8</sub> acyl;  
 saturated, unsaturated, or aromatic C<sub>5-10</sub> carbocycle, optionally  
 substituted with one or more R<sup>13</sup> groups; and saturated,

unsaturated, or aromatic 5-10 membered heterocycle containing one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulfur, and optionally substituted with one or more  $R^{13}$  groups;

t, at each occurrence, independently is 0, 1, 2, or 3;

v is 0, 1, 2, 3, 4, 5, or 6;

$R^{14}$  is selected from the group consisting of:

- a) hydrogen, b)  $C_{1-6}$ -alkyl, c)  $C_{2-6}$  alkenyl, d)  $C_{2-6}$  alkynyl, e)  $-C(O)-R^3$ , f)  $-C(O)-C_{1-6}$  alkyl- $R^3$ , g)  $-C(O)-C_{2-6}$  alkenyl- $R^3$ , h)  $-C(O)-C_{2-6}$  alkynyl- $R^3$ , i)  $-C_{1-6}$  alkyl-J- $R^3$ , j)  $-C_{2-6}$  alkenyl-J- $R^3$ ; and k)  $-C_{2-6}$  alkynyl-J- $R^3$ ;

wherein

- (i) any of b) – d) optionally is substituted with one or more substituents selected from the group consisting of:

F, Cl, Br, I, aryl, substituted aryl, heteroaryl, substituted heteroaryl,  $-OR^3$ ,  $-O-C_{1-6}$  alkyl- $R^2$ ,  $-O-C_{2-6}$  alkenyl- $R^2$ ,  $-O-C_{2-6}$  alkynyl- $R^2$ , and  $-NR^2R^2$ ; and

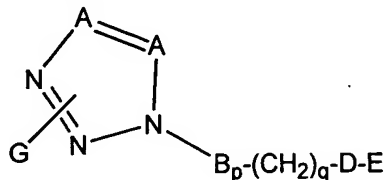
- (ii) J is selected from the group consisting of:

$-OC(O)-$ ,  $-OC(O)O-$ ,  $-OC(O)NR^2-$ ,  $-C(O)NR^2-$ ,  $-NR^2C(O)-$ ,  $-NR^2C(O)O-$ ,  $-NR^2C(O)NR^2-$ ,  $-NR^2C(NH)NR^2-$ , and  $S(O)_r$ ; and

$R^{15}$  is selected from the group consisting of:

hydrogen;  $C_{1-10}$  alkyl, optionally substituted with one or more  $R^{13}$  groups;  $C_{1-6}$  acyl, optionally substituted with one or more  $R^{13}$  groups; aryl; substituted aryl; heteroaryl; substituted heteroaryl; arylalkyl; substituted arylalkyl; and a macrolide.

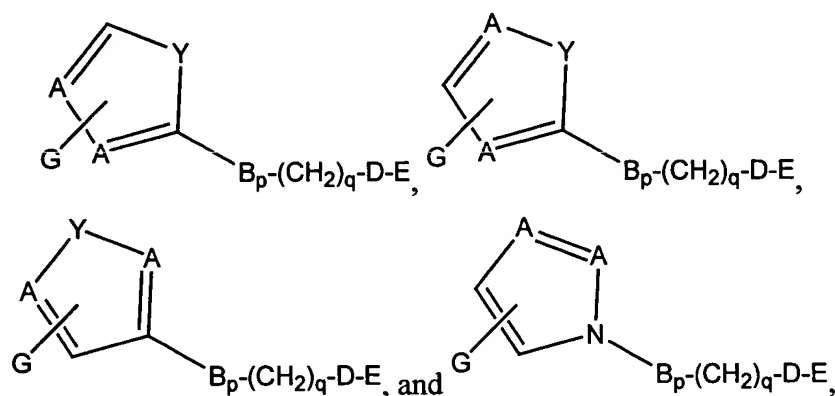
2. The compound according to claim 1, having the formula:



wherein

A, at each occurrence, independently is carbon or nitrogen, provided at least one A is carbon, and  
p, q, B, D, E, and G are as defined in claim 1.

3. The compound according to claim 1, having the formula selected from the group consisting of:



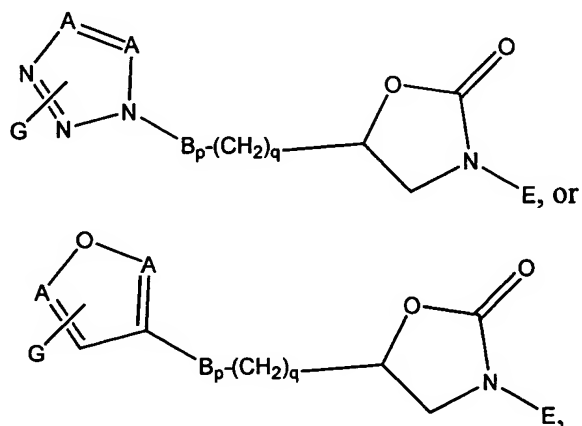
wherein

Y is oxygen or sulfur,

A, at each occurrence, independently is carbon or nitrogen, and

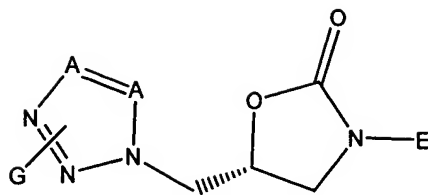
p, q, B, D, E, and G are as defined in claim 1.

4. The compound according to claim 1, having the formula:



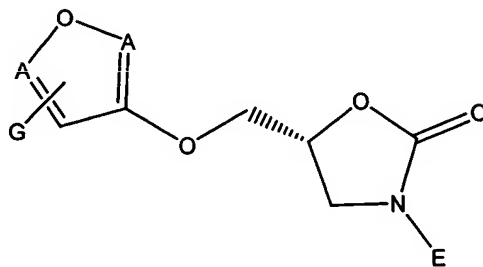
wherein p, q, A, B, E, and G are as defined in claim 1.

5. The compound according to claim 4, having the formula:



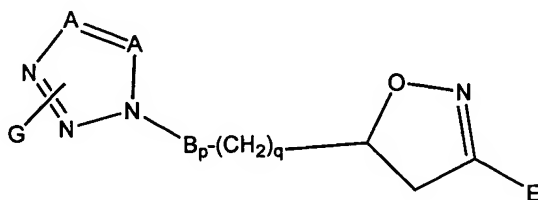
wherein A, E, and G are as defined in claim 1.

6. The compound according to claim 4, having the formula:

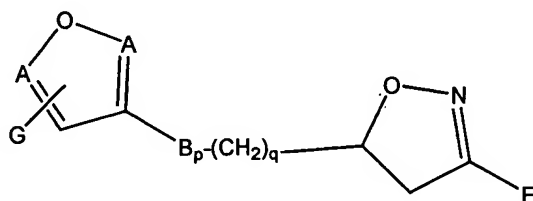


wherein A, E, and G are as defined in claim 1.

7. The compound according to claim 1, having the formula:

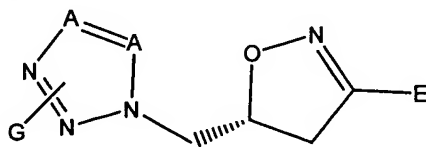


or



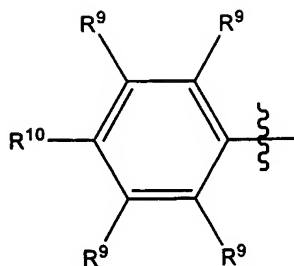
wherein p, q, A, E, and G are as defined in claim 1.

8. The compound according to claim 7, having the formula:



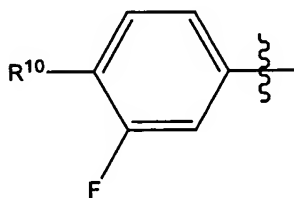
wherein A, E, and G are as defined in claim 1.

1 9. The compound according to claim 1, wherein E has the formula:



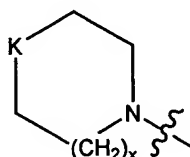
2  
3 wherein R<sup>9</sup> and R<sup>10</sup>, at each occurrence, are as defined in claim 1.

1 10. The compound according to claim 1, wherein E has the formula:



2  
3 wherein R<sup>10</sup> is as defined in claim 1.

1 11. The compound according to claim 9, wherein R<sup>10</sup> has the formula:



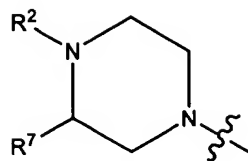
2  
3 wherein  
4 K is selected from the group consisting of O, NR<sup>2</sup>, and S(O)<sub>r</sub>, and  
5 x is 0, 1, 2, or 3.

1 12. The compound according to claim 11, wherein K is oxygen.

1 13. The compound according to claim 11, wherein t is 1.

1 14. The compound according to claim 9, wherein R<sup>10</sup> is -C(O)CH<sub>3</sub>.

1 15. The compound according to claim 9, wherein R<sup>10</sup> has the formula:

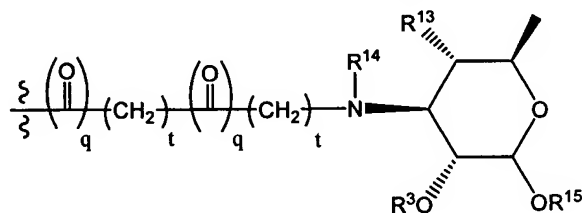


wherein  $R^2$  and  $R^7$  are as defined in claim 1.

16. The compound according to claim 15, wherein  $R^2$  is  $-\text{C}(\text{O})-\text{CH}_2-\text{OH}$ .

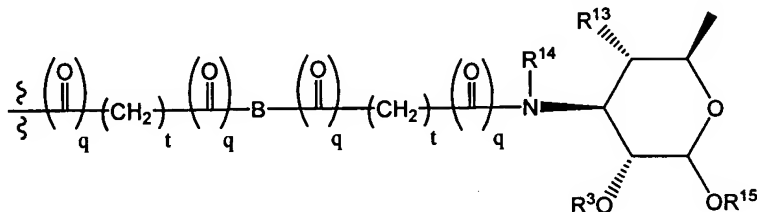
17. The compound according to claim 15, wherein  $R^7$  is hydrogen.

18. The compound according to claim 1, wherein G has the formula:



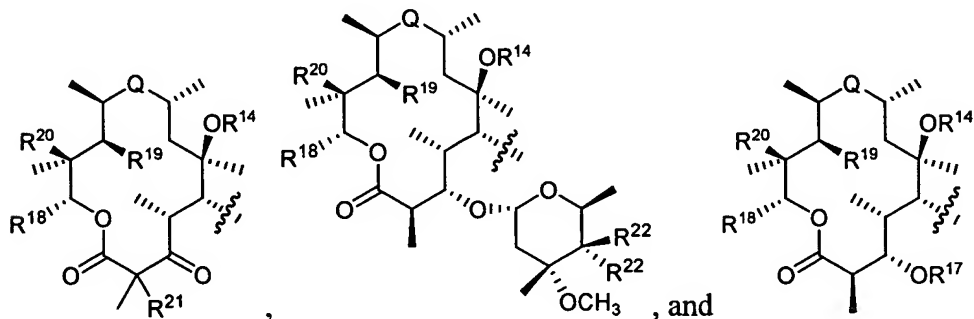
and  $R^{15}$  is a macrolide.

19. The compound according to claim 1, wherein G has the formula:



and  $R^{15}$  is a macrolide.

20. The compound according to claim 1, wherein  $R^{15}$  is selected from the group consisting of:



and pharmaceutically acceptable salts, esters and prodrugs thereof, wherein

$R^{17}$  is selected from the group consisting of:

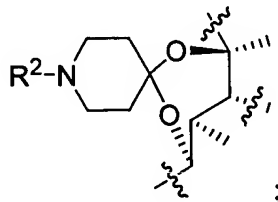
hydrogen, hydroxy protecting group,  $R^3$ , and  $-V-W-R^{13}$ ,

wherein

V is  $-C(O)$ ,  $-C(O)O-$ ,  $-C(O)NR^2-$ , or absent, and

W is  $C_{1-6}$  alkyl, or absent;

alternatively  $R^{17}$  and  $R^{14}$ , taken together with the atoms to which they are bonded, form:



Q is selected from the group consisting of:

$-NR^2CH_2-$ ,  $-CH_2-NR^2-$ ,  $-C(O)-$ ,  $-C(=NR^2)-$ ,  $-C(=NOR^3)-$ ,  $-C(=N-NR^2R^2)-$ ,  
 $-CH(OR^3)-$ , and  $-CH(NR^2R^2)-$ ;

$R^{18}$  is selected from the group consisting of:

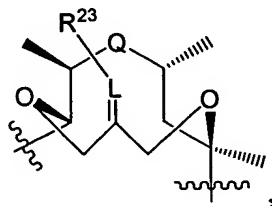
i)  $C_{1-6}$  alkyl, ii)  $C_{2-6}$  alkenyl, and iii)  $C_{2-6}$  alkynyl;

wherein any of i) – iii) optionally is substituted with one or more moieties  
selected from the group consisting of  $-OR^3$ , aryl, substituted aryl,  
heteroaryl, and substituted heteroaryl;

$R^{19}$  is selected from the group consisting of:

a)  $-OR^{17}$ , b)  $C_{1-6}$  alkyl, c)  $C_{2-6}$  alkenyl, d)  $C_{2-6}$  alkynyl, e)  $-NR^2R^2$ , f)  $-C(O)R^3$ ,  
g)  $-C(O)-C_{1-6}$  alkyl- $R^{13}$ , h)  $-C(O)-C_{2-6}$  alkenyl- $R^{13}$ , and i)  $-C(O)-C_{2-6}$  alkynyl- $R^{13}$ ,  
wherein any of b) - d) optionally is substituted with one or more  $R^{13}$   
groups;

alternatively,  $R^{14}$  and  $R^{19}$ , taken together with the atoms to which they are bonded, form:



wherein

L is CH or N, and

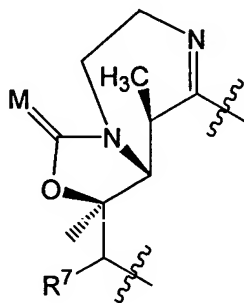
$R^{23}$  is  $-OR^3$ , or  $R^3$ ;

R<sup>20</sup> is -OR<sup>17</sup>;

alternatively, R<sup>19</sup> and R<sup>20</sup>, taken together with the atoms to which they are bonded, form a 5-membered ring by attachment to each other through a linker selected from the group consisting of:

-OC(R<sup>2</sup>)(R<sup>2</sup>)O-, -OC(O)O-, -OC(O)NR<sup>2</sup>-, -NR<sup>2</sup>C(O)O-, -OC(O)NOR<sup>3</sup>-,  
-N(OR<sup>3</sup>)C(O)O-, -OC(O)N-NR<sup>2</sup>R<sup>2</sup>-, -N(NR<sup>2</sup>R<sup>2</sup>)C(O)O-, -OC(O)CHR<sup>2</sup>-, -CHR<sup>2</sup>C(O)O-,  
-OC(S)O-, -OC(S)NR<sup>2</sup>-, -NR<sup>2</sup>C(S)O-, -OC(S)NOR<sup>3</sup>-, -N(OR<sup>3</sup>)C(S)O-,  
-OC(S)N-NR<sup>2</sup>R<sup>2</sup>-, -N(NR<sup>2</sup>R<sup>2</sup>)C(S)O-, -OC(S)CHR<sup>2</sup>-, and -CHR<sup>2</sup>C(S)O-;

alternatively, Q, R<sup>19</sup>, and R<sup>20</sup>, taken together with the atoms to which they are bonded, form:



wherein

M is O or NR<sup>2</sup>;

R<sup>21</sup> is selected from the group consisting of:

hydrogen, F, Cl, Br, and C<sub>1-6</sub> alkyl;

R<sup>22</sup>, at each occurrence, independently is selected from the group consisting of:

hydrogen, -OR<sup>3</sup>, -O-hydroxy protecting group, -O-C<sub>1-6</sub> alkyl-J-R<sup>13</sup>,

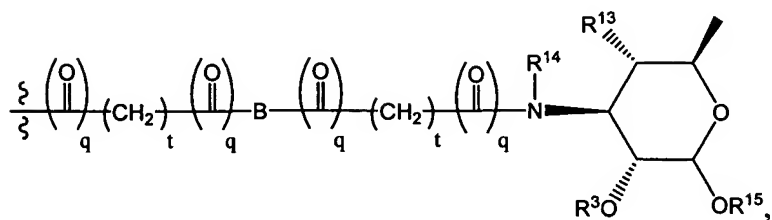
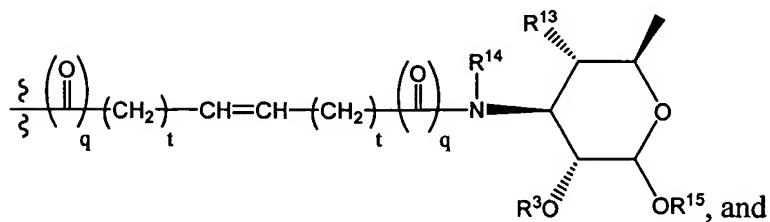
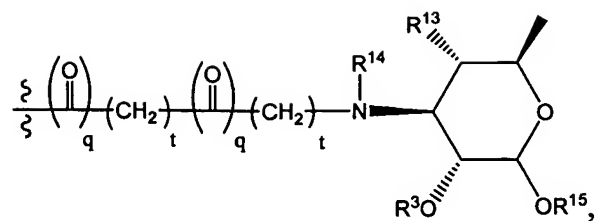
-O-C<sub>2-6</sub> alkenyl-J-R<sup>13</sup>, -O-C<sub>1-6</sub> alkynyl-J-R<sup>13</sup>, and -NR<sup>2</sup>R<sup>2</sup>;

alternatively, two R<sup>22</sup> groups taken together are =O, =N-OR<sup>3</sup>, or =N-NR<sup>2</sup>R<sup>2</sup>; and

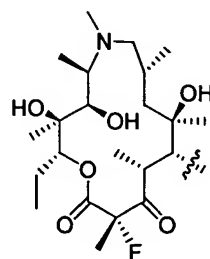
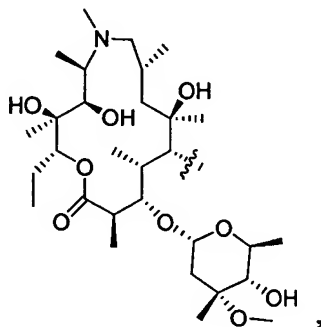
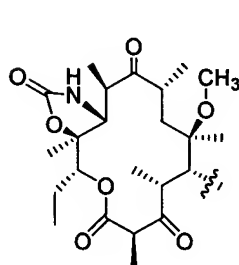
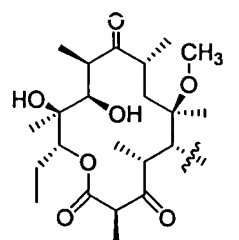
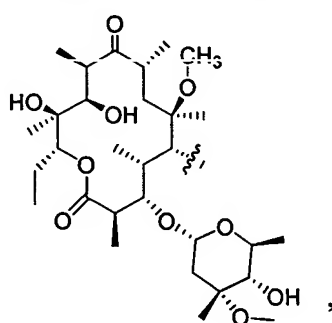
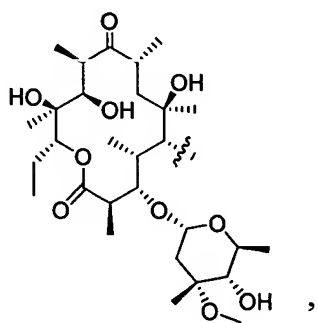
R<sup>2</sup>, R<sup>3</sup>, R<sup>13</sup>, R<sup>14</sup>, and J are as described in claim 1.

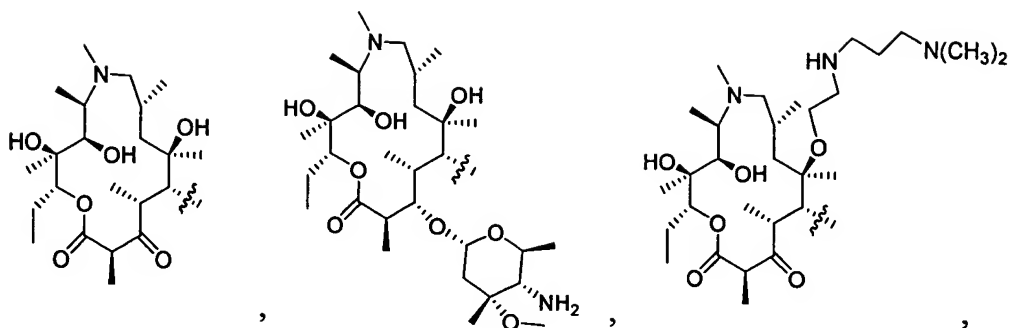
21. The compound according to claim 1, wherein G has the formula selected from the group consisting of:



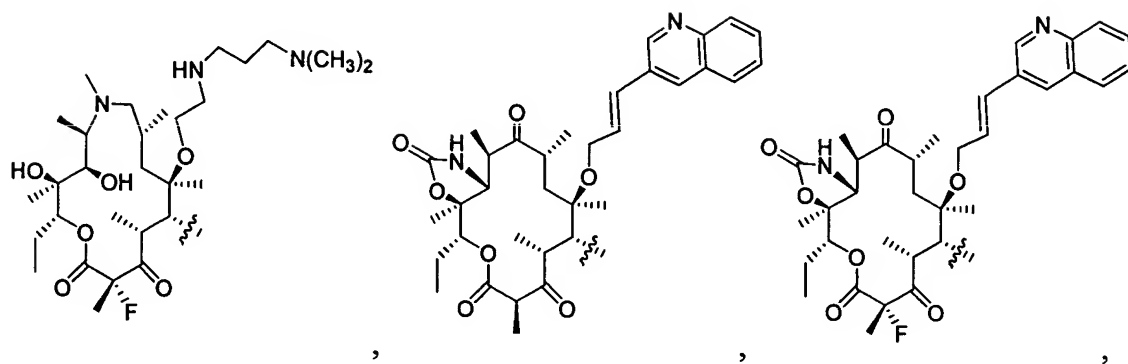


and R<sup>15</sup> has the formula selected from the group consisting of:

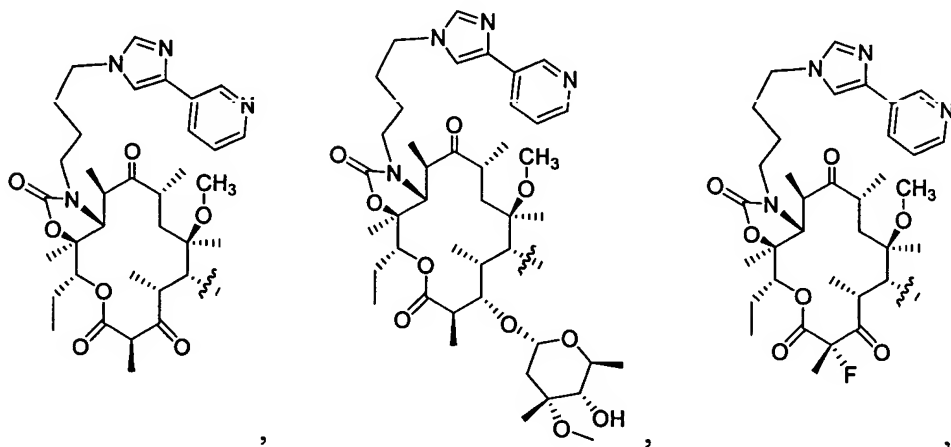




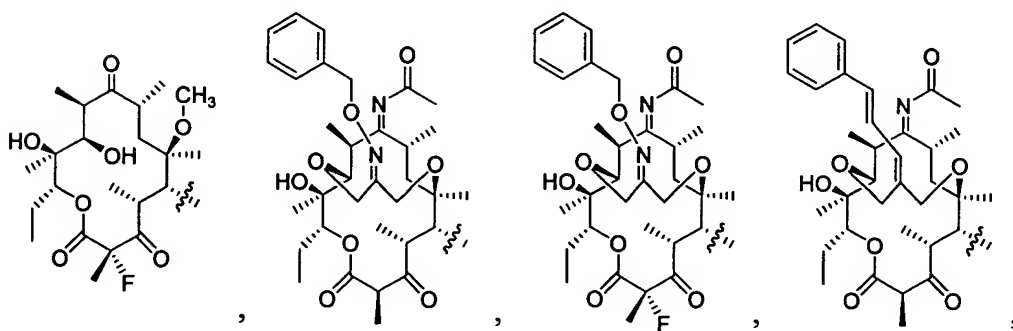
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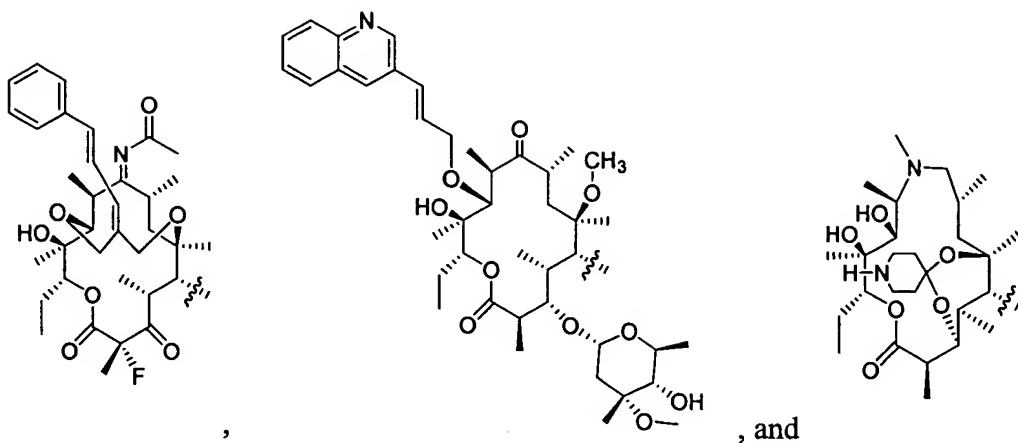
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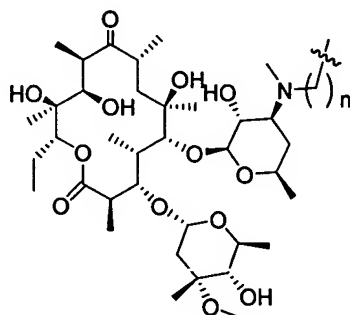


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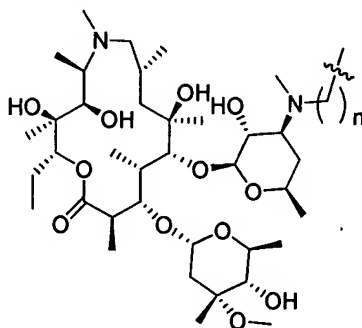
- 1 22. The compound according to claim 1, wherein G has the formula:



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3 wherein  $n = 1, 2, 3,$  or  $4$ .

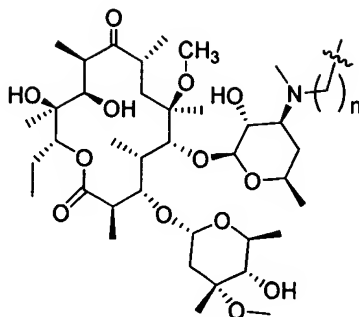
- 1 23. The compound according to claim 1, wherein G has the formula:



2

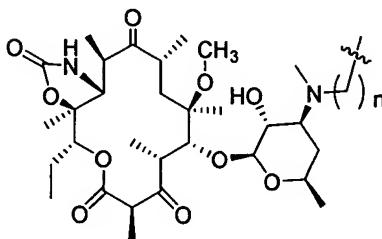
3 wherein  $n = 1, 2, 3,$  or  $4$ .

- 1 24. The compound according to claim 1, wherein G has the formula:



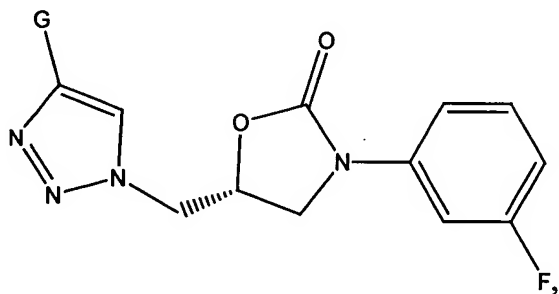
wherein  $n = 1, 2, 3$ , or  $4$ .

25. The compound according to claim 1, wherein G has the formula:



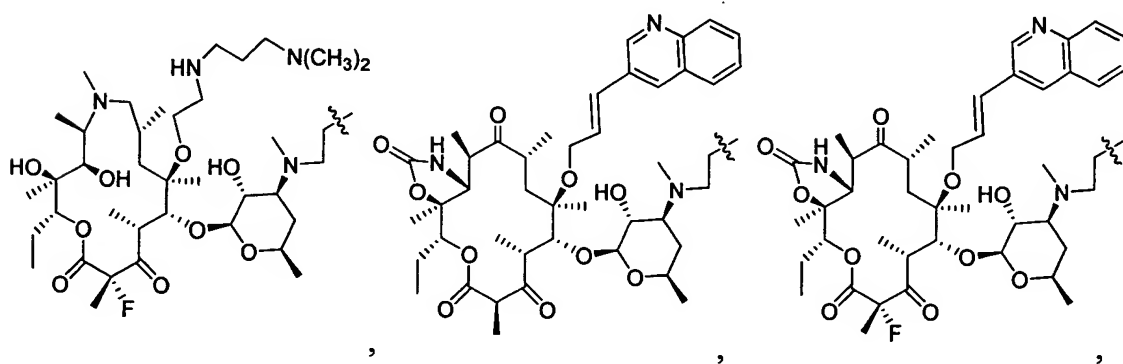
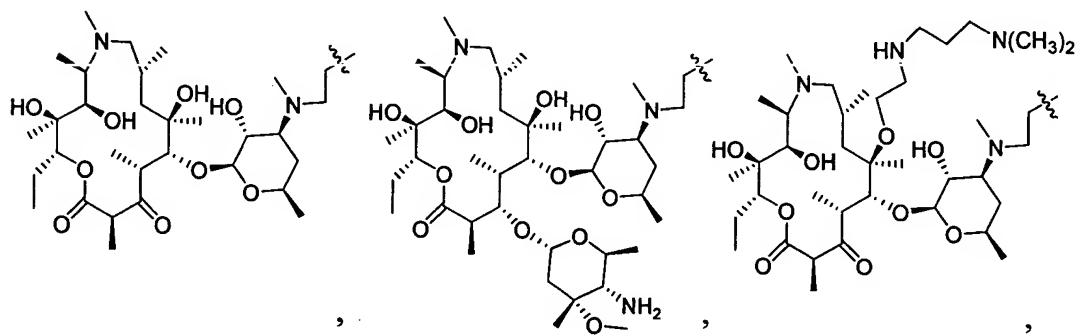
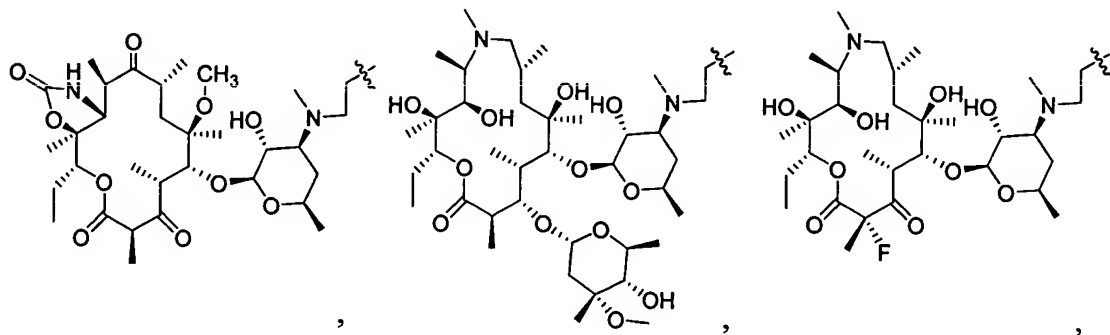
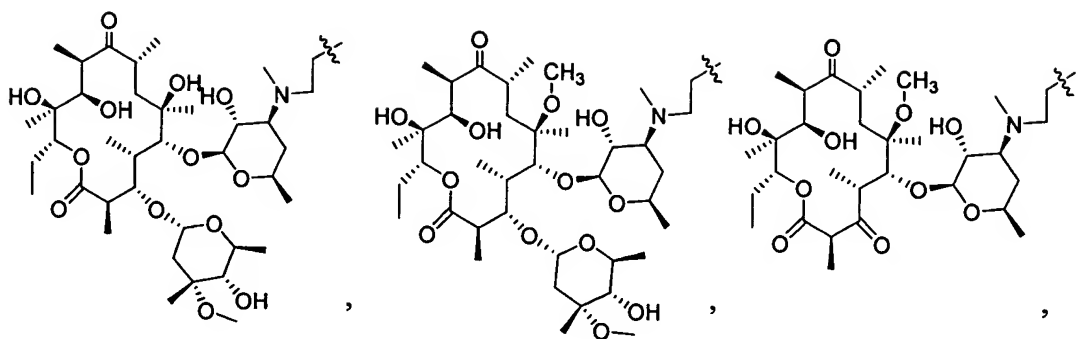
wherein  $n = 1, 2, 3$ , or  $4$ .

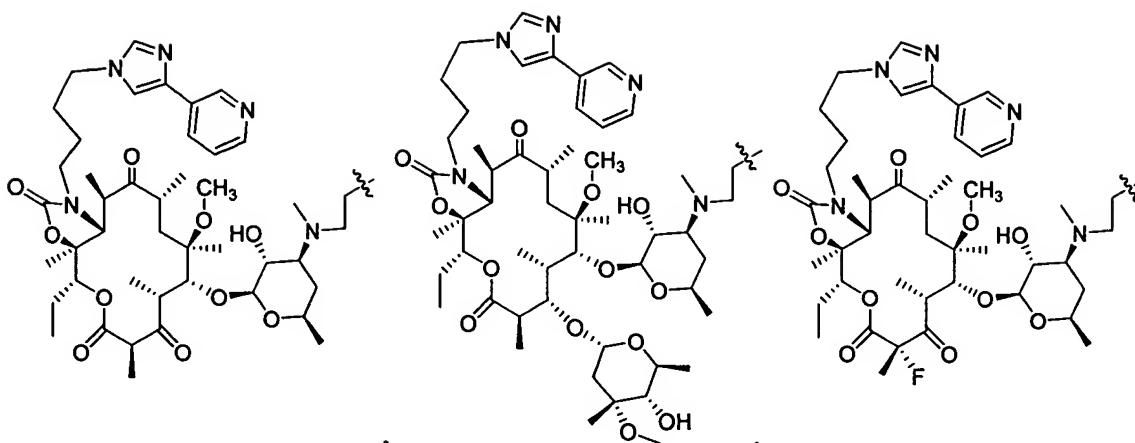
26. The compound according to claim 1, having the formula:



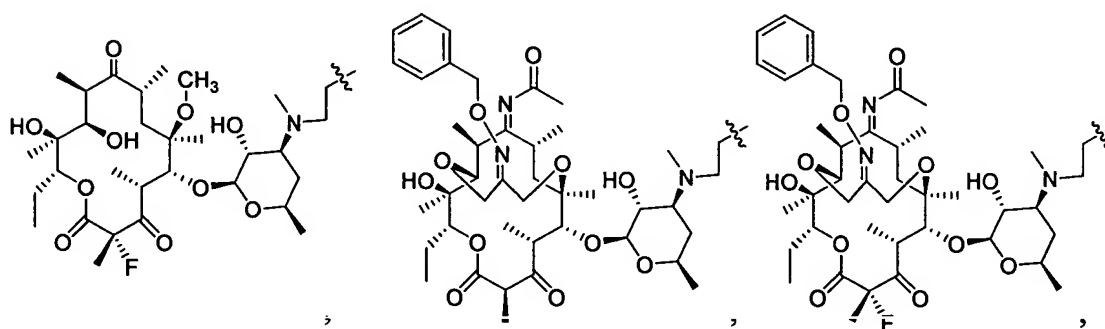
wherein G is as described in claim 1.

27. The compound according to claim 26, wherein G has the formula selected from the group consisting of:

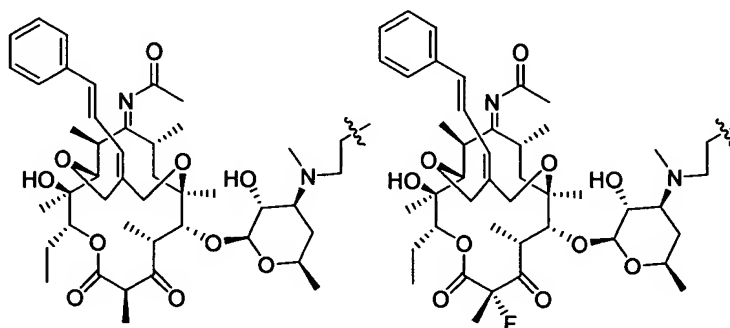




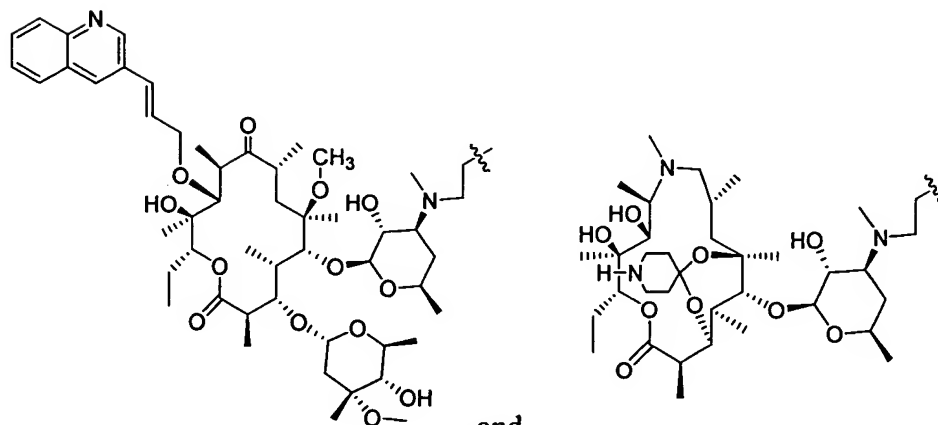
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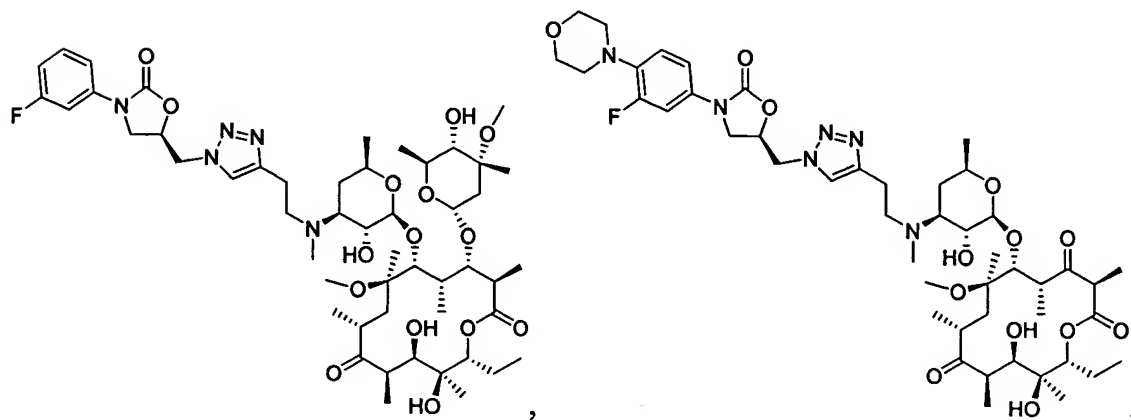
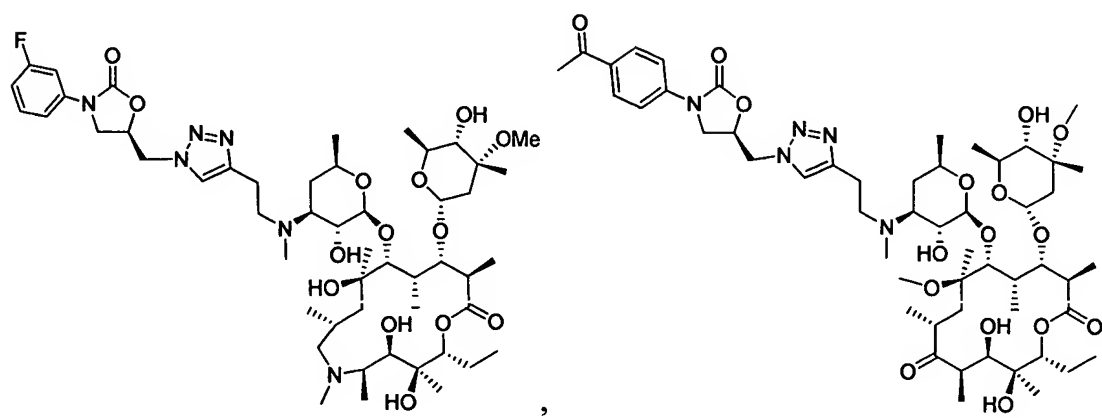
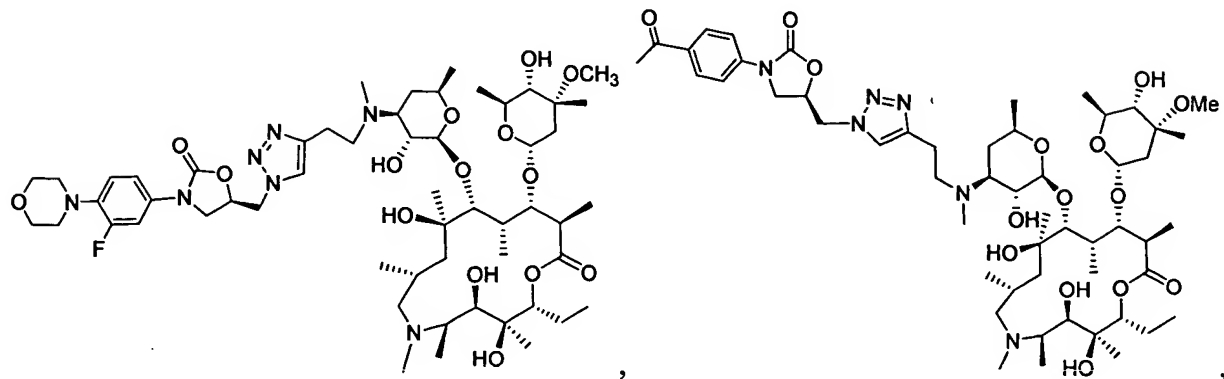
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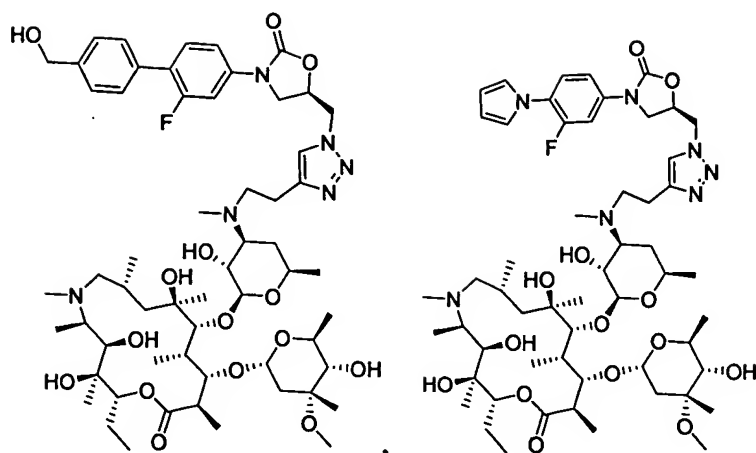


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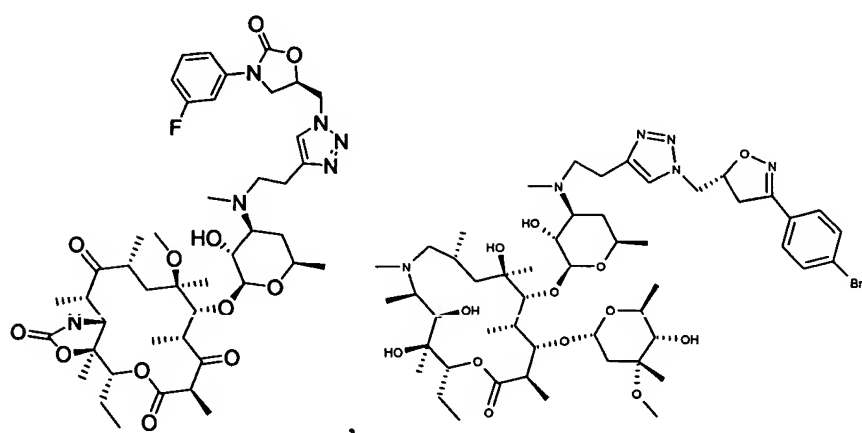
, and

1 28. A compound having the formula selected from the group consisting of:

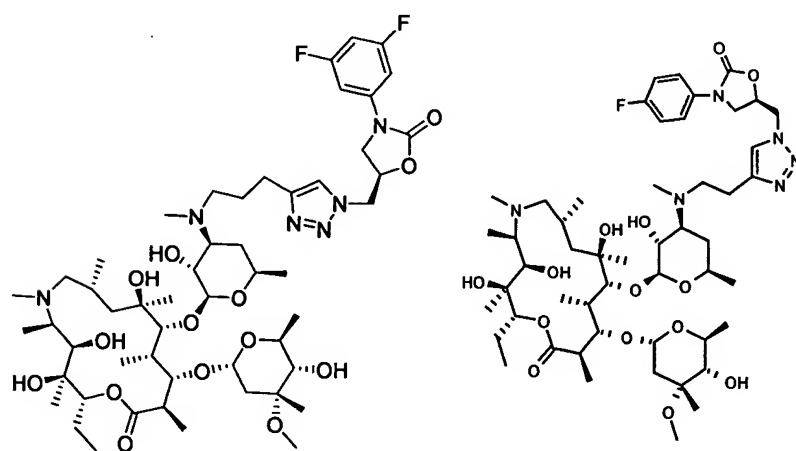




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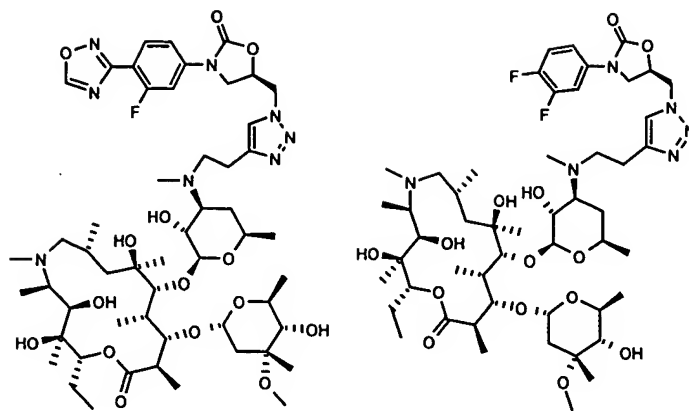
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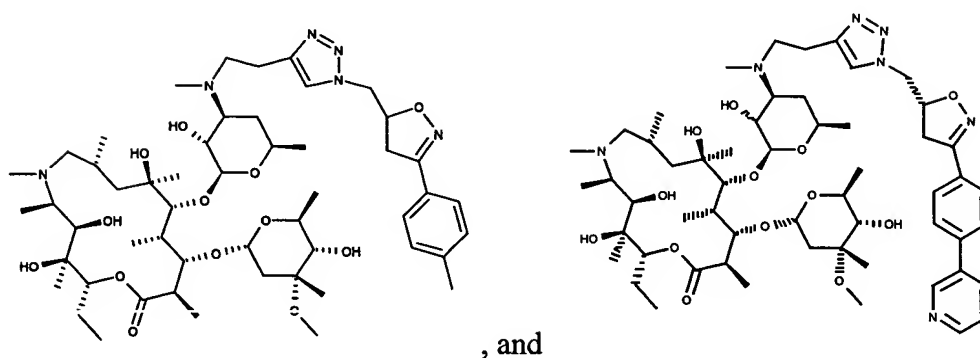
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, and

10 or a pharmaceutically acceptable salt, ester, or prodrug thereof.

1 29. A compound having the structure corresponding to any of the structures listed in Table 1,  
2 or a pharmaceutically acceptable salt, ester, or prodrug thereof.

1 30. A compound having the structure corresponding to any of the structures listed in Table 2,  
2 or a pharmaceutically acceptable salt, ester, or prodrug thereof.

1 31. A pharmaceutical composition comprising a compound according to any one of claims  
2 1-30 and a pharmaceutically acceptable carrier.

1 32. A method of treating a microbial infection in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-30.

1 33. A method of treating a fungal infection in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-30.

- 1 34. A method of treating a parasitic disease in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-30.
- 1 35. A method of treating a proliferative disease in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-30.
- 1 36. A method of treating a viral infection in a mammal comprising administering to the  
2 mammal an effective amount of a compound according to any one of claims 1-30.
- 1 37. A method of treating an inflammatory disease in a mammal comprising administering to  
2 the mammal an effective amount of a compound according to any one of claims 1-30.
- 1 38. A method of treating a gastrointestinal motility disorder in a mammal comprising  
2 administering to the mammal an effective amount of a compound according to any one of claims  
3 1-30.
- 1 39. The method according to any one of claims 32-38 wherein the compound is administered  
2 orally, parentally, or topically.
- 1 40. A method of synthesizing a compound according to any of claims 1-30.
- 1 41. A medical device containing a compound according to any one of claims 1-30.
- 1 42. The medical device according to claim 41, wherein the device is a stent.